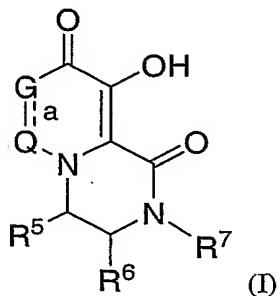


WHAT IS CLAIMED IS:

1. A compound of Formula I, or a pharmaceutically acceptable salt thereof:



5 wherein:

G is C-R¹, CH-R¹, N, or N-R²;

10 Q is C-R³, C-R⁴, CH-R³ or CH-R⁴, with the proviso that (i) when G is C-R¹, then Q is C-R³, (ii) when G is CH-R¹, then Q is CH-R³, (iii) when G is N, then Q is C-R⁴, and (iv) when G is N-R², then Q is CH-R⁴;

bond "a" is a single bond or a double bond between G and Q, with the proviso that (i) when G is N or C-R¹, bond "a" is a double bond, and (ii) when G is CH-R¹ or N-R², bond "a" is a single bond;

15

R¹ is:

- (1) H,
- (2) halogen,
- (3) C₁₋₆ alkyl,

20 (4) C₁₋₆ alkyl substituted with:

- (a) -N(R^a)R^b,
- (b) -N(R^a)-C(=O)-R^b,
- (c) -N(R^a)-SO₂R^b,
- (d) -N(R^a)-C₁₋₆ alkylene-O-C₁₋₆ alkyl,

25 (e) -N(R^a)-C(=O)-C(=O)-N(R^a)R^b,

- (f) -OH,
- (g) -HetD, or
- (h) -N(R^a)-C₁₋₆ alkylene-HetA,

5

(5) HetA,
 (6) C(=O)-R^a,
 (7) C(=O)-aryl, or
 (8) C(=O)-HetA;

R² is H or C₁₋₆ alkyl;

R³ is:

10 (1) H,
 (2) C₁₋₆ alkyl,
 (3) C₁₋₆ alkyl substituted with:
 (a) -N(R^a)R^b,
 (b) -N(R^a)-C(=O)-R^b,
 (c) -N(R^a)-SO₂R^b,
 15 (d) -N(R^a)-C₁₋₆ alkylene-O-C₁₋₆ alkyl,
 (e) -N(R^a)-C(=O)-C(=O)-N(R^a)R^b,
 (f) -HetD,
 (g) -N(R^a)-C₁₋₆ alkylene-HetA, or
 20 (4) C(=O)-C₁₋₆ alkyl,
 (5) CO₂H,
 (6) C(=O)-O-C₁₋₆ alkyl,
 (7) C(=O)N(R^a)R^b, or
 (8) C(=O)-HetF;

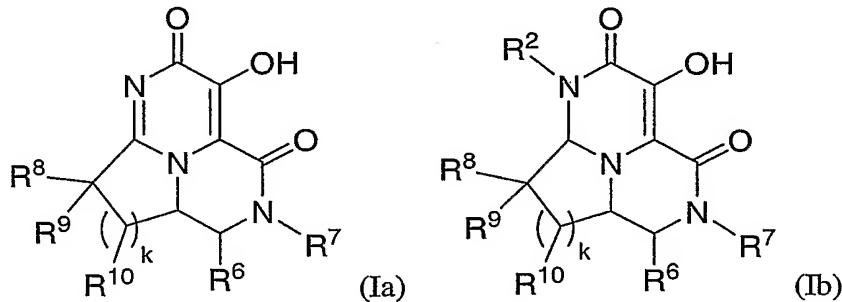
25 R⁴ is:

(1) H,
 (2) C₁₋₆ alkyl, or
 (3) C₁₋₆ alkyl substituted with:
 (a) -N(R^a)R^b,
 30 (b) -N(R^a)-C(=O)-R^b,
 (c) -N(R^a)-SO₂R^b,
 (d) -N(R^a)-C₁₋₆ alkylene-O-C₁₋₆ alkyl,
 (e) -N(R^a)-C(=O)-C(=O)-N(R^a)R^b,
 (f) -HetD, or
 35 (g) -N(R^a)-C₁₋₆ alkylene-HetA;

R⁵ is:

5 (1) H,
 (2) C₁₋₆ alkyl, or
 (3) C₁₋₆ alkyl substituted with:
 (a) -CO₂H,
 (b) -C(=O)-O-C₁₋₆ alkyl,
 (c) -C(=O)-C₁₋₆ alkyl,
 (d) -N(R^a)R^b,
 10 (e) -C(=O)N(R^a)R^b,
 (f) -N(R^a)-C(=O)-R^b,
 (g) -N(R^a)-SO₂R^b,
 (h) -N(R^a)-C(=O)-C(=O)-N(R^a)R^b,
 (i) -HetF,
 15 (j) -C(=O)-HetF, or
 (k) -N(R^a)-C(=O)-C(=O)-HetF;

or alternatively R⁴ and R⁵ together with the carbon atoms to which each is attached and the fused ring N atom therebetween form a ring such that the compound of Formula I is a compound of Formula Ia or Ib:



wherein k is an integer equal to 1 or 2;

R⁶ is H or C₁₋₆ alkyl;

25 R⁷ is C₁₋₆ alkyl substituted with T, wherein T is:

(A) aryl or aryl fused to a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein the aryl or fused aryl is optionally substituted with from 1 to 5 substituents each of which is independently:

5

(1) -C₁₋₆ alkyl optionally substituted with -OH, -O-C₁₋₆ alkyl, -O-C₁₋₆ haloalkyl, -CN, -NO₂, -N(R^a)R^b, -C(=O)N(R^a)R^b, -C(=O)R^a, -CO₂R^a, -S(O)_nR^a where n is an integer equal to zero or 1 or 2, -SO₂N(R^a)R^b, -N(R^a)C(=O)R^b, -N(R^a)CO₂R^b, -N(R^a)SO₂R^b, -N(R^a)SO₂N(R^a)R^b, -OC(=O)N(R^a)R^b, or -N(R^a)C(=O)N(R^a)R^b,

10 (2) -O-C₁₋₆ alkyl,

(3) -C₁₋₆ haloalkyl,

(4) -O-C₁₋₆ haloalkyl,

(5) -OH,

15 (6) halo,

(7) -CN,

(8) -NO₂,

(9) -N(R^a)R^b,

(10) -C(=O)N(R^a)R^b,

20 (11) -C(=O)R^a,

(12) -CO₂R^a,

(13) -SR^a,

(14) -S(=O)R^a,

(15) -SO₂R^a,

25 (16) -SO₂N(R^a)R^b,

(17) -N(R^a)SO₂R^b,

(18) -N(R^a)SO₂N(R^a)R^b,

(19) -N(R^a)C(=O)R^b,

(20) -N(R^a)C(=O)-C(=O)N(R^a)R^b,

30 (21) -N(R^a)CO₂R^b,

(22) phenyl,

(23) benzyl,

(24) -HetB,

(25) -C(=O)-HetB, or

(26) -HetC, or

35 (B) a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S; wherein the heteroaromatic ring is

(i) optionally substituted with from 1 to 4 substituents each of which is independently halogen, -C₁₋₆ alkyl, -C₁₋₆ haloalkyl, -O-C₁₋₆ alkyl, -O-C₁₋₆ haloalkyl, or hydroxy; and

(ii) optionally substituted with 1 or 2 substituents each of which is independently aryl or -C₁₋₆ alkyl substituted with aryl;

R⁸ is:

- 5 (1) H,
- (2) C₁₋₆ alkyl,
- (3) N(R^a)R^b,
- (4) N(R^a)-CO₂R^b,
- (5) N(R^a)-SO₂R^b,
- 10 (6) N(R^a)-C(=O)-R^b,
- (7) N(R^a)-C(=O)-N(R^a)R^b,
- (8) N(R^a)-C(=O)-C(=O)-N(R^a)R^b,
- (9) HetF,
- (10) N(R^a)-C(=O)-HetF, or
- 15 (11) N(R^a)-C(=O)-C(=O)-HetF;

R⁹ is H, C₁₋₆ alkyl, or C₁₋₆ alkyl substituted with U, wherein U independently has the same definition as T;

20 each R¹⁰ is independently H or C₁₋₆ alkyl;

each HetA is independently:

- (A) a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S; wherein the heteroaromatic ring is attached to the rest of the compound via a carbon atom in the ring, and wherein the heteroaromatic ring is:
 - (i) optionally substituted with 1 or 2 substituents each of which is independently a -C₁₋₄ alkyl; and
 - (ii) optionally substituted with aryl or -C₁₋₄ alkylene-aryl; or
- (B) a 9- or 10-membered aromatic heterobicyclic fused ring system containing from 1 to 4 heteroatoms independently selected from N, O and S; wherein the fused ring system consists of a 6-membered ring fused with either a 5-membered ring or another 6-membered ring, either ring of which is attached to the rest of the compound via a carbon atom; wherein the ring of the fused ring system attached to the rest of the compound via the carbon atom contains at least one of the heteroatoms; and wherein the fused ring system is:

- (i) optionally substituted with 1 or 2 substituents each of which is independently a -C₁₋₄ alkyl; and
- (ii) optionally substituted with aryl or -C₁₋₄ alkylene-aryl;

5 each HetB is independently a C₄₋₇ azacycloalkyl or a C₃₋₆ diazacycloalkyl, either of which is optionally substituted with from 1 to 4 substituents each of which is oxo or C₁₋₆ alkyl;

each HetC is independently a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein the heteroaromatic ring is optionally substituted with from 1 to 4 substituents each of which is independently halo, -C₁₋₆ alkyl, -C₁₋₆ haloalkyl, -O-C₁₋₆ alkyl, -O-C₁₋₆ haloalkyl, or hydroxy; or

10 each HetD is independently a 4- to 7-membered saturated heterocyclic ring containing at least one carbon atom and a total of from 1 to 4 heteroatoms independently selected from 1 to 4 N atoms, from 0 to 2 O atoms, and from 0 to 2 S atoms, wherein any ring S atom is optionally oxidized to SO or SO₂, and wherein the heterocyclic ring is optionally fused with a benzene ring, and wherein the heterocyclic ring is attached to the rest of the compound via a N atom in the ring, and wherein the heterocyclic ring is:

- (i) optionally substituted with 1 or 2 substituents each of which is independently a -C₁₋₄ alkyl, -C₁₋₄ alkylene-N(R^a)R^b, or -C(=O)OR^a; and
- (ii) optionally substituted with aryl, -C₁₋₄ alkylene-aryl, HetE, or -C₁₋₄ alkylene-HetE; wherein HetE is (i) a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S or (ii) a 4- to 7-membered saturated heterocyclic ring containing at least one carbon atom and from 1 to 4 heteroatoms independently selected from N, O and S;

15 each HetF is independently a 4- to 7-membered saturated heterocyclic ring containing 1 or 2 N atoms, zero or 1 O atom, and zero or 1 S atom, wherein any ring S atom is optionally oxidized to SO or SO₂, and wherein the heterocyclic ring is attached to the rest of the compound via a N atom in the ring, and wherein the heterocyclic ring is optionally substituted with 1 or 2 substituents each of which is independently a -C₁₋₆ alkyl;

20 each aryl is independently phenyl or naphthyl;

25

each R^a is independently H or C₁₋₆ alkyl; and

each R^b is independently H or C₁₋₆ alkyl.

5 2. A compound according to claim 1, or a pharmaceutically acceptable salt thereof,
wherein:

R³ is:

- (1) H,
- (2) C₁₋₆ alkyl,
- 10 (3) C₁₋₆ alkyl substituted with:
 - (a) -N(R^a)R^b,
 - (b) -N(R^a)-C(=O)-R^b,
 - (c) -N(R^a)-SO₂R^b,
 - 15 (d) -N(R^a)-C₁₋₆ alkylene-O-C₁₋₆ alkyl,
 - (e) -N(R^a)-C(=O)-C(=O)-N(R^a)R^b,
 - (f) -HetD, or
 - (g) -N(R^a)-C₁₋₆ alkylene-HetA, or
- (4) C(=O)-C₁₋₆ alkyl;

20

R⁴ is:

- (1) H,
- (2) C₁₋₆ alkyl, or
- 25 (3) C₁₋₆ alkyl substituted with:
 - (a) -N(R^a)R^b,
 - (b) -N(R^a)-C(=O)-R^b,
 - (c) -N(R^a)-SO₂R^b,
 - (d) -N(R^a)-C₁₋₆ alkylene-O-C₁₋₆ alkyl,
 - (e) -N(R^a)-C(=O)-C(=O)-N(R^a)R^b,
 - 30 (f) -HetD, or
 - (g) -N(R^a)-C₁₋₆ alkylene-HetA; and

R⁵ and R⁶ are each independently H or C₁₋₆ alkyl.

3. The compound according to claim 1, or a pharmaceutically acceptable salt thereof, wherein

R¹ is:

- 5 (1) H,
- (2) halogen,
- (3) C₁₋₄ alkyl,
- (4) C₁₋₄ alkyl substituted with:
 - (a) -N(R^a)R^b,
 - (b) -N(R^a)-C(=O)-R^b,
 - (c) -N(R^a)-SO₂R^b,
 - (d) -N(R^a)-C₁₋₃ alkylene-O-C₁₋₄ alkyl,
 - (e) -N(R^a)-C(=O)-C(=O)-N(R^a)R^b,
 - (f) -OH,
- 10 (g) -HetD, or
- (h) -N(R^a)-C₁₋₃ alkylene-HetA,
- (5) HetA,
- (6) C(=O)-R^a,
- (7) C(=O)-aryl, or
- 15 (8) C(=O)-HetA;

R² is H or C₁₋₄ alkyl;

R³ is:

- 25 (1) H,
- (2) C₁₋₄ alkyl,
- (3) C(=O)-C₁₋₄ alkyl,
- (4) CO₂H,
- (5) C(=O)-O-C₁₋₄ alkyl,
- 30 (6) C(=O)N(R^a)R^b, or
- (7) C(=O)-HetF;

R⁴ is:

- 35 (1) H,
- (2) C₁₋₄ alkyl, or

(3) C₁-4 alkyl substituted with:

- (a) $-N(R^a)R^b$,
- (b) $-N(R^a)-C(=O)-R^b$,
- (c) $-N(R^a)-SO_2R^b$,
- (d) $-N(R^a)-C_{1-3} \text{ alkylene}-O-C_{1-4} \text{ alkyl}$,
- (e) $-N(R^a)-C(=O)-C(=O)-N(R^a)R^b$,
- (f) $-HetD$, or
- (g) $-N(R^a)-C_{1-3} \text{ alkylene}-HetA$;

10 R⁵ is:

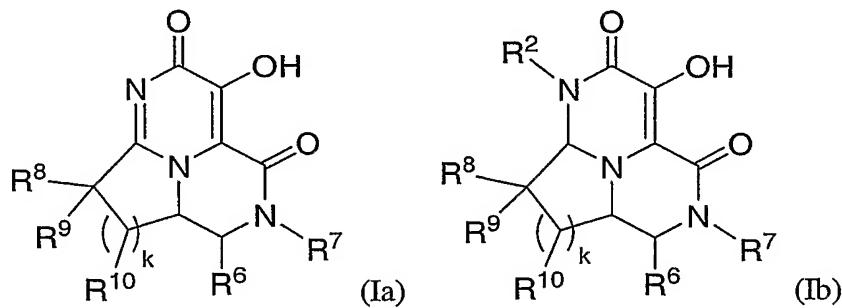
- (1) H,
- (2) C₁₋₄ alkyl, or
- (3) C₁₋₄ alkyl substituted with

15

- (b) $-\text{C}(=\text{O})-\text{O}-\text{C}_1\text{-4 alkyl}$,
- (c) $-\text{N}(\text{R}^{\text{a}})\text{R}^{\text{b}}$,
- (d) $-\text{C}(=\text{O})\text{N}(\text{R}^{\text{a}})\text{R}^{\text{b}}$,
- (e) $-\text{N}(\text{R}^{\text{a}})-\text{C}(=\text{O})-\text{C}(=\text{O})-\text{N}(\text{R}^{\text{a}})\text{R}^{\text{b}}$,
- (f) $-\text{HetF}$,
- (g) $-\text{C}(=\text{O})-\text{HetF}$, or
- (h) $-\text{N}(\text{R}^{\text{a}})-\text{C}(=\text{O})-\text{C}(=\text{O})-\text{HetF}$;

20

or alternatively R⁴ and R⁵ together with the carbon atoms to which each is attached and the fused ring N atom therebetween form a ring such that the compound of Formula I is a compound of Formula Ia or Ib;



25

wherein k is an integer equal to 1 or 2;

R⁶ is H or C₁₋₄ alkyl;

5 R⁷ is H, C₁₋₄ alkyl, or C₁₋₄ alkyl substituted with T, wherein T is phenyl, naphthyl, quinoliny, or isoquinoliny, wherein the phenyl, naphthyl, quinoliny, or isoquinoliny is optionally substituted with from 1 to 3 substituents each of which is independently halo, -C₁₋₄ alkyl, -O-C₁₋₄ alkyl, -C₁₋₄ fluoroalkyl, -SO₂-C₁₋₄ alkyl, -C(=O)-NH(-C₁₋₄ alkyl), -C(=O)-N(-C₁₋₄ alkyl)₂, or HetC;

10 R⁸ is:

- (1) H,
- (2) C₁₋₄ alkyl,
- (3) N(R^a)R^b,
- (4) N(R^a)-CO₂R^b,
- (5) N(R^a)-C(=O)-C(=O)-N(R^a)R^b,
- (6) HetF, or
- (7) N(R^a)-C(=O)-C(=O)-HetF;

15 R⁹ is H, C₁₋₄ alkyl, or C₁₋₄ alkyl substituted with U, wherein U is phenyl, naphthyl, quinoliny, or isoquinoliny, wherein the phenyl, naphthyl, quinoliny, or isoquinoliny is optionally substituted with from 1 to 3 substituents each of which is independently halo, -C₁₋₄ alkyl, -O-C₁₋₄ alkyl, -C₁₋₄ fluoroalkyl, -SO₂-C₁₋₄ alkyl, -C(=O)-NH(-C₁₋₄ alkyl), -C(=O)-N(-C₁₋₄ alkyl)₂, or HetC;

20 each R¹⁰ is independently H or C₁₋₄ alkyl;

HetA is:

- (A) a 5- or 6-membered heteroaromatic ring containing a total of from 1 to 3 heteroatoms independently selected from zero to 3 N atoms, zero or 1 O atom, and zero or 1 S atom; wherein the heteroaromatic ring is attached to the rest of the compound via a carbon atom in the ring, and wherein the heteroaromatic ring is:
 - (i) optionally substituted with 1 or 2 substituents each of which is independently a -C₁₋₄ alkyl; and
 - (ii) optionally substituted with phenyl or -CH₂-phenyl; or
- (B) a 9- or 10-membered aromatic heterobicyclic fused ring system containing a total of from 1 to 4 heteroatoms independently selected from 1 to 4 N atoms, zero or 1 O atom, and zero or 1 S atom; wherein the fused ring system consists of a 6-membered ring fused with either a 5-membered ring or another 6-membered ring, either ring of which is attached to the rest of the compound via a carbon atom; wherein the ring of the fused ring system attached to the rest

of the compound via the carbon atom contains at least one of the heteroatoms; and wherein the fused ring system is:

- (i) optionally substituted with 1 or 2 substituents each of which is independently a -C₁₋₄ alkyl; and
- 5 (ii) optionally substituted with phenyl or -CH₂-phenyl; and

each HetC is independently a 5- or 6-membered heteroaromatic ring containing a total of 1 to 4 heteroatoms independently selected from 1 to 4 N atoms, zero or 1 O atom, and zero or 1 S atom, wherein the heteroaromatic ring is attached to the rest of the compound via a carbon atom in the ring, and 10 wherein the heteroaromatic ring is optionally substituted with 1 or 2 substituents each of which is independently a -C₁₋₄ alkyl;

HetD is a 5- or 6-membered saturated heterocyclic ring containing a total of from 1 to 3 heteroatoms independently selected from 1 to 3 N atoms, zero or 1 O atom, and zero or 1 S atom, wherein any ring S atom is optionally oxidized to SO or SO₂, and wherein the heterocyclic ring is optionally fused with a benzene ring, and wherein the heterocyclic ring is attached to the rest of the compound via a N atom in the ring, and wherein the heterocyclic ring is:

- (i) optionally substituted with -C₁₋₄ alkyl, -(CH₂)₁₋₂-NH(-C₁₋₄ alkyl), -(CH₂)₁₋₂-N(-C₁₋₄ alkyl)₂ or -C(=O)O-C₁₋₄ alkyl; and
- 20 (ii) optionally substituted with phenyl, -CH₂-phenyl, HetE, or -(CH₂)₁₋₂-HetE; wherein HetE is (i) a 5- or 6-membered heteroaromatic ring containing a total of from 1 to 3 heteroatoms independently selected from zero to 3 N atoms, zero or 1 O atom, and zero or 1 S atom or (ii) a 5- or 6-membered saturated heterocyclic ring containing a total of from 1 to 3 heteroatoms independently selected from 1 to 3 N atoms, zero or 1 O atom, and zero or 1 S atom;

each HetF is independently a 5- or 6-membered saturated heterocyclic ring containing 1 or 2 N atoms, zero or 1 O atom, and zero or 1 S atom, wherein any ring S atom is optionally oxidized to SO or SO₂, and wherein the heterocyclic ring is attached to the rest of the compound via a N atom in the ring, and wherein the heterocyclic ring is optionally substituted with 1 or 2 substituents each of which is independently a -C₁₋₄ alkyl;

each R^a is independently H or C₁₋₄ alkyl; and

R^b is H or C₁₋₄ alkyl.

4. The compound according to claim 3, or a pharmaceutically acceptable salt thereof, wherein

5

R¹ is:

- (1) H,
- (2) C₁₋₃ alkyl,
- (3) chloro,
- 10 (4) bromo,
- (5) CH₂-N(R^a)R^b,
- (6) CH(CH₃)-N(R^a)R^b,
- (7) CH₂-N(R^a)-C(=O)-R^b,
- (8) CH(CH₃)-N(R^a)-C(=O)-R^b,
- 15 (9) CH₂-N(R^a)-SO₂R^b,
- (10) CH(CH₃)-N(R^a)-SO₂R^b,
- (11) CH₂-N(R^a)-C₂₋₃ alkylene-O-C₁₋₃ alkyl,
- (12) CH(CH₃)-N(R^a)-C₂₋₃ alkylene-O-C₁₋₃ alkyl,
- (13) CH₂-N(R^a)-C(=O)-C(=O)-N(R^a)R^b,
- 20 (14) CH(CH₃)-N(R^a)-C(=O)-C(=O)-C(=O)-N(R^a)R^b,
- (15) CH₂OH,
- (16) CH(CH₃)OH,
- (17) CH₂-HetD,
- (18) CH(CH₃)-HetD,
- 25 (19) CH₂-N(R^a)-CH₂-HetA,
- (20) CH(CH₃)-N(R^a)-CH₂-HetA,
- (21) HetA, or
- (22) C(=O)-R^a; and

30 R² is H or C₁₋₃ alkyl;

R³ is:

- (1) H,
- (2) C₁₋₃ alkyl,
- 35 (3) C(=O)-C₁₋₃ alkyl,

- (4) CO_2H ,
- (5) $\text{C}(=\text{O})\text{-O-C}_1\text{-}_3$ alkyl, or
- (6) $\text{C}(=\text{O})\text{N}(\text{R}^a)\text{R}^b$;

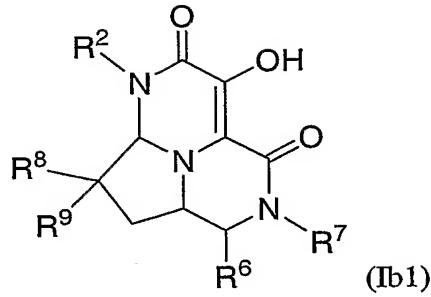
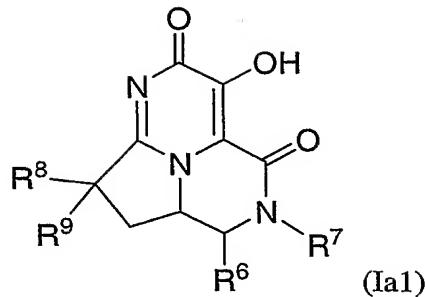
5 R^4 is:

- (1) H,
- (2) $\text{C}_1\text{-}_3$ alkyl,
- (3) $\text{CH}_2\text{-N}(\text{R}^a)\text{R}^b$,
- (4) $\text{CH}(\text{CH}_3)\text{-N}(\text{R}^a)\text{R}^b$,
- 10 (5) $\text{CH}_2\text{-N}(\text{R}^a)\text{-C}(=\text{O})\text{-R}^b$,
- (6) $\text{CH}(\text{CH}_3)\text{-N}(\text{R}^a)\text{-C}(=\text{O})\text{-R}^b$,
- (7) $\text{CH}_2\text{-HetD}$, or
- (8) $\text{CH}(\text{CH}_3)\text{-HetD}$;

15 R^5 is:

- (1) H,
- (2) $\text{C}_1\text{-}_3$ alkyl,
- (3) $\text{CH}_2\text{CO}_2\text{H}$,
- (4) $\text{CH}_2\text{C}(=\text{O})\text{-O-C}_1\text{-}_4$ alkyl,
- 20 (5) $(\text{CH}_2)_1\text{-}_2\text{N}(\text{R}^a)\text{R}^b$,
- (6) $\text{CH}_2\text{C}(=\text{O})\text{N}(\text{R}^a)\text{R}^b$,
- (7) $(\text{CH}_2)_1\text{-}_2\text{N}(\text{R}^a)\text{-C}(=\text{O})\text{-C}(=\text{O})\text{-N}(\text{R}^a)\text{R}^b$,
- (8) $(\text{CH}_2)_1\text{-}_2\text{-HetF}$,
- (9) $\text{CH}_2\text{C}(=\text{O})\text{-HetF}$, or
- 25 (10) $(\text{CH}_2)_1\text{-}_2\text{N}(\text{R}^a)\text{-C}(=\text{O})\text{-C}(=\text{O})\text{-HetF}$;

or alternatively R^4 and R^5 together with the carbon atoms to which each is attached and the fused ring N atom therebetween form a ring such that the compound of Formula I is a compound of Formula Ia1 or Ib1:



R⁶ is H or C₁₋₃ alkyl;

R⁷ is H, C₁₋₃ alkyl, or CH₂-T, wherein T is phenyl which is optionally substituted with from 1 to 3
5 substituents each of which is independently halo, -C₁₋₃ alkyl, -O-C₁₋₃ alkyl, -C₁₋₃ fluoroalkyl,
-SO₂-C₁₋₃ alkyl, -C(=O)-NH(-C₁₋₃ alkyl), -C(=O)-N(-C₁₋₃ alkyl)₂, or HetC;

R⁸ is:

- 10 (1) H,
- (2) C₁₋₃ alkyl,
- (3) N(R^a)R^b,
- (4) N(R^a)-C(=O)-O-C₁₋₄ alkyl,
- (5) N(R^a)-C(=O)-C(=O)-N(R^a)R^b,
- (6) HetF, or
- 15 (7) N(R^a)-C(=O)-C(=O)-HetF;

R⁹ is H, C₁₋₃ alkyl, or CH₂-U, wherein U is phenyl which is optionally substituted with from 1 to 3
20 substituents each of which is independently halo, -C₁₋₃ alkyl, -O-C₁₋₃ alkyl, -C₁₋₃ fluoroalkyl,
-SO₂-C₁₋₃ alkyl, -C(=O)-NH(-C₁₋₃ alkyl), -C(=O)-N(-C₁₋₃ alkyl)₂, or HetC;

each R^a is independently H or C₁₋₃ alkyl; and

R^b is H or C₁₋₃ alkyl.

25 5. The compound according to claim 4, or a pharmaceutically acceptable salt
thereof, wherein

R¹ is:

- (1) H,

- (2) CH_3 ,
- (3) chloro,
- (4) bromo,
- (5) $\text{CH}_2\text{-NH(CH}_3\text{)}$,
- 5 (6) $\text{CH}_2\text{-N(CH}_3\text{)}_2$,
- (7) $\text{CH(CH}_3\text{)}\text{-NH(CH}_3\text{)}$,
- (8) $\text{CH(CH}_3\text{)}\text{-N(CH}_3\text{)}_2$,
- (9) $\text{CH(CH}_3\text{)}\text{-NH(CH(CH}_3\text{)}_2)$,
- 10 (10) $\text{CH}_2\text{-NH-C(=O)CH}_3$,
- (11) $\text{CH}_2\text{-N(CH}_3\text{)}\text{-C(=O)CH}_3$,
- (12) $\text{CH(CH}_3\text{)}\text{-NH-C(=O)CH}_3$,
- (13) $\text{CH(CH}_3\text{)}\text{-N(CH}_3\text{)}\text{-C(=O)CH}_3$,
- (14) $\text{CH}_2\text{-NH-SO}_2\text{CH}_3$,
- 15 (15) $\text{CH}_2\text{-N(CH}_3\text{)}\text{-SO}_2\text{CH}_3$,
- (16) $\text{CH(CH}_3\text{)}\text{-NH-SO}_2\text{CH}_3$,
- (17) $\text{CH(CH}_3\text{)}\text{-N(CH}_3\text{)}\text{-SO}_2\text{CH}_3$,
- (18) $\text{CH}_2\text{-NH-(CH}_2\text{)}_2\text{-OCH}_3$,
- (19) $\text{CH}_2\text{-N(CH}_3\text{)}\text{-(CH}_2\text{)}_2\text{-OCH}_3$,
- 20 (20) $\text{CH(CH}_3\text{)}\text{-NH-(CH}_2\text{)}_2\text{-OCH}_3$,
- (21) $\text{CH(CH}_3\text{)}\text{-N(CH}_3\text{)}\text{-(CH}_2\text{)}_2\text{-OCH}_3$,
- (22) $\text{CH}_2\text{-NH-C(=O)-C(=O)-N(CH}_3\text{)}_2$,
- (23) $\text{CH}_2\text{-N(CH}_3\text{)}\text{-C(=O)-C(=O)-N(CH}_3\text{)}_2$,
- (24) $\text{CH(CH}_3\text{)}\text{-NH-C(=O)-C(=O)-N(CH}_3\text{)}_2$,
- 25 (25) $\text{CH(CH}_3\text{)}\text{-N(CH}_3\text{)}\text{-C(=O)-C(=O)-N(CH}_3\text{)}_2$,
- (26) CH_2OH ,
- (27) $\text{CH(CH}_3\text{)}\text{OH}$,
- (28) $\text{CH}_2\text{-HetD}$,
- (29) $\text{CH(CH}_3\text{)}\text{-HetD}$,
- (30) $\text{CH}_2\text{-NH-CH}_2\text{-HetA}$,
- 30 (31) $\text{CH}_2\text{-N(CH}_3\text{)}\text{-CH}_2\text{-HetA}$,
- (32) $\text{CH(CH}_3\text{)}\text{-NH-CH}_2\text{-HetA}$,
- (33) $\text{CH(CH}_3\text{)}\text{-N(CH}_3\text{)}\text{-CH}_2\text{-HetA}$,
- (34) HetA, or
- (35) C(=O)-CH_3 ;

R² is H or CH₃;

R³ is:

- 5 (1) H,
- (2) CH₃,
- (3) C(=O)-CH₃,
- (4) CO₂H,
- (5) C(=O)-O-CH₃,
- (6) C(=O)N(H)CH₃, or
- 10 (7) C(=O)N(CH₃)₂;

R⁴ is:

- (1) H,
- (2) CH₃,
- 15 (3) CH₂-NH(CH₃),
- (4) CH(CH₃)-NH(CH₃),
- (5) CH₂-N(CH₃)₂,
- (6) CH(CH₃)-N(CH₃)₂,
- (7) CH₂-N(CH₃)-C(=O)-CH₃,
- 20 (8) CH(CH₃)-N(CH₃)-C(=O)-CH₃, or
- (9) CH₂-HetD;

R⁵ is:

- 25 (1) H,
- (2) CH₃,
- (3) CH₂CO₂H,
- (4) CH₂CO₂CH₃,
- (5) CH₂CO₂CH₂CH₃,
- (6) (CH₂)₁₋₂N(H)CH₃,
- 30 (7) (CH₂)₁₋₂N(CH₃)₂,
- (8) CH₂C(=O)N(H)CH₃,
- (9) CH₂C(=O)N(CH₃)₂, or
- (10) (CH₂)₁₋₂-HetF;

or alternatively R⁴ and R⁵ together with the carbon atoms to which each is attached and the fused ring N atom therebetween form a ring such that the compound of Formula I is a compound of Formula Ia1 or Ib1

5 R⁶ is H or CH₃;

R⁷ is CH₂-T, wherein T is phenyl which is optionally substituted with from 1 to 3 substituents each of which is independently chloro, bromo, fluoro, CH₃, OCH₃, CF₃, SO₂CH₃, C(=O)NH(CH₃), C(=O)N(CH₃)₂, or oxadiazolyl;

10

R⁸ is:

- (1) H,
- (2) CH₃,
- (3) N(H)CH₃,
- 15 (4) N(CH₃)₂,
- (5) N(CH₃)-C(=O)-O-C₁₋₄ alkyl,
- (6) N(CH₃)-C(=O)-C(=O)-N(H)CH₃,
- (7) N(CH₃)-C(=O)-C(=O)-N(CH₃)₂,
- (8) HetF, or
- 20 (9) N(CH₃)-C(=O)-C(=O)-HetF;

R⁹ is H, CH₃, or CH₂-U, wherein U is phenyl which is optionally substituted with from 1 to 3 substituents each of which is independently chloro, bromo, fluoro, CH₃, OCH₃, CF₃, SO₂CH₃, C(=O)NH(CH₃), C(=O)N(CH₃)₂, or oxadiazolyl;

25

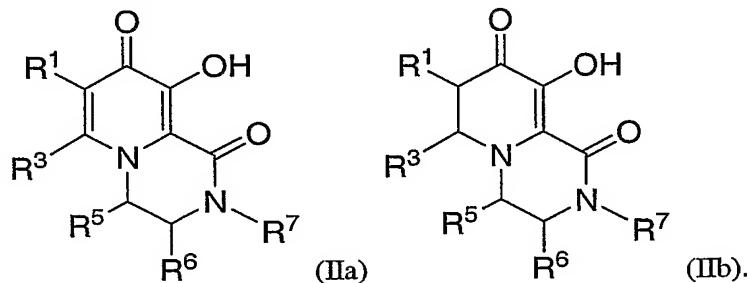
HetA is a heteroaromatic ring selected from the group consisting of oxadiazolyl, thiophenyl, pyrazolyl, thiazolyl, isothiazolyl, oxazolyl, isoxazolyl, imidazolyl, pyridinyl, pyrimidinyl, pyrazinyl, and pyridoimidazolyl; wherein the heteroaromatic ring is attached to the rest of the compound via a carbon atom in the ring, and wherein the heteroaromatic ring is optionally substituted with methyl or phenyl;

30

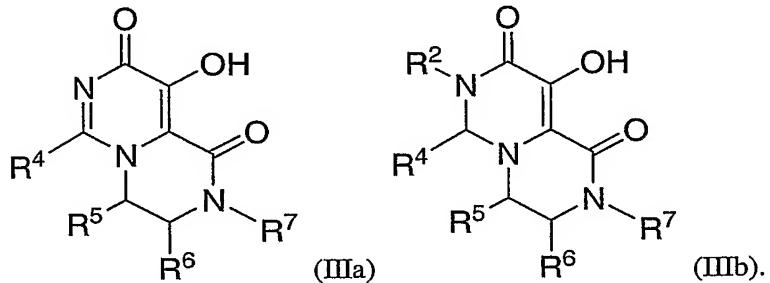
HetD is a heterocyclic ring selected from the group consisting of pyrrolidinyl, morpholinyl, piperidinyl, piperazinyl, 4-methylpiperazinyl, and piperidinyl fused with a benzene ring; wherein the heterocyclic ring is attached to the rest of the compound via a N atom in the ring; and

HetF is a heterocyclic ring selected from the group consisting of pyrrolidinyl, morpholinyl, thiomorpholinyl, piperidinyl, piperazinyl, and 4-methylpiperazinyl, wherein the heterocyclic ring is attached to the rest of the compound via a N atom in the ring.

5 6. The compound according to claim 1, or a pharmaceutically acceptable salt
thereof, which is a compound of Formula IIa or IIb:



7. The compound according to claim 1, or a pharmaceutically acceptable salt
10 thereof, which is a compound of Formula IIIa or IIIb:



8. A compound according to claim 1, or a pharmaceutically acceptable salt thereof, which is a compound selected from the group consisting of:

15 2-(4-fluorobenzyl)-9-hydroxy-3,4-dihydro-2H-pyrido[1,2-a]pyrazine-1,8-dione;

6-acetyl-2-(4-fluorobenzyl)-9-hydroxy-3,4-dihydro-2H-pyrido[1,2-a]pyrazine-1,8-dione;

20 2-(4-fluorobenzyl)-9-hydroxy-7-pyridin-3-yl-3,4-dihydro-2H-pyrido[1,2-a]pyrazine-1,8-dione;

7-acetyl-2-(4-fluorobenzyl)-9-hydroxy-3,4-dihydro-2H-pyrido[1,2-a]pyrazine-1,8-dione;

2-(4-fluorobenzyl)-9-hydroxy-7-(1-hydroxyethyl)-3,4-dihydro-2H-pyrido[1,2-a]pyrazine-1,8-dione;

2-(4-fluorobenzyl)-9-hydroxy-7-(1-morpholin-4-ylethyl)-3,4-dihydro-2H-pyrido[1,2-a]pyrazine-1,8-dione;

5 N-{1-[2-(4-fluorobenzyl)-9-hydroxy-1,8-dioxo-1,3,4,8-tetrahydro-2H-pyrido[1,2-a]pyrazin-7-yl]ethyl}-N-methylacetamide;

10 N-{1-[2-(4-fluorobenzyl)-9-hydroxy-1,8-dioxo-1,3,4,8-tetrahydro-2H-pyrido[1,2-a]pyrazin-7-yl]ethyl}-N-methylmethanesulfonamide;

15 2-(4-fluorobenzyl)-9-hydroxy-7-(1-pyrrolidin-1-ylethyl)-3,4-dihydro-2H-pyrido[1,2-a]pyrazine-1,8-dione;

20 N-{1-[2-(4-fluorobenzyl)-9-hydroxy-1,8-dioxo-1,3,4,8-tetrahydro-2H-pyrido[1,2-a]pyrazin-7-yl]ethyl}-N,N',N'-trimethylethanediamide;

25 2-(4-fluorobenzyl)-9-hydroxy-7-[1-(methylamino)ethyl]-3,4-dihydro-2H-pyrido[1,2-a]pyrazine-1,8-dione;

30 7-bromo-2-(4-fluorobenzyl)-9-hydroxy-6-methyl-3,4-dihydro-2H-pyrido[1,2-a]pyrazine-1,8-dione;

35 7-[1-(dimethylamino)ethyl]-2-(4-fluorobenzyl)-9-hydroxy-3,4-dihydro-2H-pyrido[1,2-a]pyrazine-1,8-dione;

40 2-(4-fluorobenzyl)-9-hydroxy-7-{1-[(pyridin-2-ylmethyl)amino]ethyl}-3,4-dihydro-2H-pyrido[1,2-a]pyrazine-1,8-dione;

45 2-(4-fluorobenzyl)-9-hydroxy-7-{1-[(2-methoxyethyl)amino]ethyl}-3,4-dihydro-2H-pyrido[1,2-a]pyrazine-1,8-dione;

50 2-(4-fluorobenzyl)-9-hydroxy-7-[1-(isopropylamino)ethyl]-3,4-dihydro-2H-pyrido[1,2-a]pyrazine-1,8-dione;

55

2-(4-fluorobenzyl)-9-hydroxy-7-{1-[(pyridin-3-ylmethyl)amino]ethyl}-3,4-dihydro-2H-pyrido[1,2-a]pyrazine-1,8-dione;

5 2-(4-fluorobenzyl)-9-hydroxy-6-methyl-3,4-dihydro-2H-pyrazino[1,2-c]pyrimidine-1,8-dione;

2-(4-fluorobenzyl)-9-hydroxy-6-(morpholin-4-ylmethyl)-3,4-dihydro-2H-pyrazino[1,2-c]pyrimidine-1,8-dione;

10 2-(4-fluorobenzyl)-9-hydroxy-6-[(methylamino)methyl]-3,4-dihydro-2H-pyrazino[1,2-c]pyrimidine-1,8-dione;

2-(4-fluorobenzyl)-9-hydroxy-6-(piperidin-1-ylmethyl)-3,4-dihydro-2H-pyrazino[1,2-c]pyrimidine-1,8-dione;

15 6-[(dimethylamino)methyl]-2-(4-fluorobenzyl)-9-hydroxy-3,4-dihydro-2H-pyrazino[1,2-c]pyrimidine-1,8-dione;

2-(4-fluorobenzyl)-9-hydroxy-6-methyl-3,4,6,7-tetrahydro-2H-pyrazino[1,2-c]pyrimidine-1,8-dione;

20 2-(4-fluorobenzyl)-9-hydroxy-6-methyl-7-(1-morpholin-4-ylethyl)-3,4-dihydro-2H-pyrido[1,2-a]pyrazine-1,8-dione;

2-(4-fluorobenzyl)-9-hydroxy-6-methyl-7-(1-pyrrolidin-1-ylethyl)-3,4-dihydro-2H-pyrido[1,2-a]pyrazine-1,8-dione;

25 2-(4-fluorobenzyl)-9-hydroxy-6-[1-(methylamino)ethyl]-3,4-dihydro-2H-pyrazino[1,2-c]pyrimidine-1,8-dione;

6-[1-(dimethylamino)ethyl]-2-(4-fluorobenzyl)-9-hydroxy-3,4-dihydro-2H-pyrazino[1,2-c]pyrimidine-1,8-dione; and

30 N-{[2-(4-fluorobenzyl)-9-hydroxy-1,8-dioxo-1,3,4,8-tetrahydro-2H-pyrazino[1,2-c]pyrimidin-6-yl]methyl}-N-methylacetamide.

9. A compound according to claim 1, or a pharmaceutically acceptable salt thereof,
35 which is a compound selected from the group consisting of:

cis *tert*-butyl [7-(4-fluorobenzyl)-5-hydroxy-4,6-dioxo-2,4,6,7,8,8a-hexahydro-1*H*-3,7,8b-triazaacenaphthylen-2-yl]methylcarbamate;

5 *trans* *tert*-butyl [7-(4-fluorobenzyl)-5-hydroxy-4,6-dioxo-2,4,6,7,8,8a-hexahydro-1*H*-3,7,8b-triazaacenaphthylen-2-yl]methylcarbamate;

2,7-bis(4-fluorobenzyl)-5-hydroxy-2-(methylamino)-8,8a-dihydro-1*H*-3,7,8b-triazaacenaphthylene-4,6(2*H,7H*)-dione;

10 *cis* 2-(dimethylamino)-7-(4-fluorobenzyl)-5-hydroxy-8,8a-dihydro-1*H*-3,7,8b-triazaacenaphthylene-4,6(2*H,7H*)-dione;

15 *cis* N-[7-(4-fluorobenzyl)-5-hydroxy-4,6-dioxo-2,4,6,7,8,8a-hexahydro-1*H*-3,7,8b-triazaacenaphthylen-2-yl]-*N,N',N'*-trimethylethanediamide;

trans N-[7-(4-fluorobenzyl)-5-hydroxy-4,6-dioxo-2,4,6,7,8,8a-hexahydro-1*H*-3,7,8b-triazaacenaphthylen-2-yl]-*N,N',N'*-trimethylethanediamide;

20 N-[7-(3-chloro-4-fluorobenzyl)-5-hydroxy-4,6-dioxo-2,4,6,7,8,8a-hexahydro-1*H*-3,7,8b-triazaacenaphthylen-2-yl]-*N,N',N'*-trimethylethanediamide;

[2-(4-fluorobenzyl)-9-hydroxy-1,8-dioxo-1,3,4,8-tetrahydro-2*H*-pyrido[1,2-*a*]pyrazin-4-yl]acetic acid;

25 ethyl [2-(4-fluorobenzyl)-9-hydroxy-1,8-dioxo-1,3,4,8-tetrahydro-2*H*-pyrido[1,2-*a*]pyrazin-4-yl]acetate;

2-[2-(4-fluorobenzyl)-9-hydroxy-1,8-dioxo-1,3,4,8-tetrahydro-2*H*-pyrido[1,2-*a*]pyrazin-4-yl]-*N*-methylacetamide;

30 2-[2-(4-fluorobenzyl)-9-hydroxy-1,8-dioxo-1,3,4,8-tetrahydro-2*H*-pyrido[1,2-*a*]pyrazin-4-yl]-*N,N*-dimethylacetamide;

2-(4-fluorobenzyl)-9-hydroxy-4-(2-pyrrolidin-1-ylethyl)-3,4-dihydro-2*H*-pyrido[1,2-*a*]pyrazine-1,8-dione;

2-(4-fluorobenzyl)-9-hydroxy-4-(2-morpholin-4-ylethyl)-3,4-dihydro-2*H*-pyrido[1,2-*a*]pyrazine-1,8-dione;

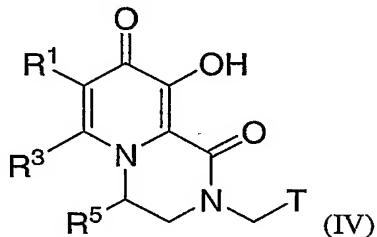
2-(3-chloro-4-fluorobenzyl)-9-hydroxy-3,4-dihydro-2*H*-pyrido[1,2-*a*]pyrazine-1,8-dione;

5 2-(4-fluoro-3-methylbenzyl)-9-hydroxy-3,4-dihydro-2*H*-pyrido[1,2-*a*]pyrazine-1,8-dione;

2-(3-chloro-4-fluorobenzyl)-9-hydroxy-*N,N*-dimethyl-1,8-dioxo-1,3,4,8-tetrahydro-2*H*-pyrido[1,2-*a*]pyrazine-6-carboxamide; and

10 2-(3-chloro-4-fluorobenzyl)-9-hydroxy-1,8-dioxo-1,3,4,8-tetrahydro-2*H*-pyrido[1,2-*a*]pyrazine-6-carboxylic acid.

15 10. A compound according to claim 1, or a pharmaceutically acceptable salt thereof, wherein the compound is a compound of Formula IV:



wherein R¹ is:

- (1) H,
- (2) C₁₋₃ alkyl,
- 20 (3) chloro,
- (4) bromo,
- (5) CH₂-N(R^a)R^b,
- (6) CH(CH₃)-N(R^a)R^b,
- (7) CH₂-N(R^a)-C(=O)-R^b,
- 25 (8) CH(CH₃)-N(R^a)-C(=O)-R^b,
- (9) CH₂-N(R^a)-SO₂R^b,
- (10) CH(CH₃)-N(R^a)-SO₂R^b,
- (11) CH₂-N(R^a)-C₂₋₃ alkylene-O-C₁₋₃ alkyl,
- (12) CH(CH₃)-N(R^a)-C₂₋₃ alkylene-O-C₁₋₃ alkyl,
- 30 (13) CH₂-N(R^a)-C(=O)-C(=O)-N(R^a)R^b,

5 (14) $\text{CH}(\text{CH}_3)\text{-N}(\text{R}^a)\text{-C}(=\text{O})\text{-C}(=\text{O})\text{-N}(\text{R}^a)\text{R}^b$,
(15) $\text{CH}_2\text{-OH}$,
(16) $\text{CH}(\text{CH}_3)\text{-OH}$,
(17) $\text{CH}_2\text{-HetD}$,
(18) $\text{CH}(\text{CH}_3)\text{-HetD}$,
(19) $\text{CH}_2\text{-N}(\text{R}^a)\text{-CH}_2\text{-HetA}$,
(20) $\text{CH}(\text{CH}_3)\text{-N}(\text{R}^a)\text{-CH}_2\text{-HetA}$,
(21) HetA, or
(22) $\text{C}(=\text{O})\text{-R}^a$; and

10

 R^3 is:

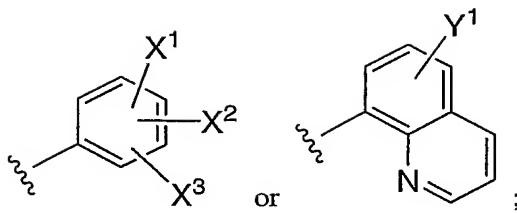
15 (1) H,
(2) C₁₋₃ alkyl,
(3) $\text{C}(=\text{O})\text{-C}_{1-3}$ alkyl,
(4) CO_2H ,
(5) $\text{C}(=\text{O})\text{-O-C}_{1-3}$ alkyl, or
(6) $\text{C}(=\text{O})\text{N}(\text{R}^a)\text{R}^b$;

 R^5 is:

20 (1) H,
(2) C₁₋₃ alkyl,
(3) $\text{CH}_2\text{CO}_2\text{H}$,
(4) $\text{CH}_2\text{C}(=\text{O})\text{-O-C}_{1-4}$ alkyl,
(5) $(\text{CH}_2)_{1-2}\text{N}(\text{R}^a)\text{R}^b$,
25 (6) $\text{CH}_2\text{C}(=\text{O})\text{N}(\text{R}^a)\text{R}^b$,
(7) $(\text{CH}_2)_{1-2}\text{N}(\text{R}^a)\text{-C}(=\text{O})\text{-C}(=\text{O})\text{-N}(\text{R}^a)\text{R}^b$,
(8) $(\text{CH}_2)_{1-2}\text{-HetF}$,
(9) $\text{CH}_2\text{C}(=\text{O})\text{-HetF}$, or
(10) $(\text{CH}_2)_{1-2}\text{N}(\text{R}^a)\text{-C}(=\text{O})\text{-C}(=\text{O})\text{-HetF}$;

30

T is



wherein X¹, X² and X³ are each independently selected from the group consisting of -H, halo, -C₁₋₄ alkyl, -O-C₁₋₄ alkyl, -C₁₋₄ fluoroalkyl, -SO₂-C₁₋₄ alkyl, -C(=O)-NH(-C₁₋₄ alkyl), -C(=O)-N(-C₁₋₄ alkyl)₂, and HetC;

5

Y¹ is -H, halo, -C₁₋₄ alkyl, or -C₁₋₄ fluoroalkyl;

HetA is a 5- or 6-membered heteroaromatic ring containing a total of from 1 to 3 heteroatoms independently selected from zero to 3 N atoms, zero or 1 O atom, and zero or 1 S atom; wherein the 10 heteroaromatic ring is attached to the rest of the compound via a carbon atom in the ring, and wherein the heteroaromatic ring is (i) optionally substituted with 1 or 2 substituents each of which is independently a -C₁₋₃ alkyl and (ii) optionally substituted with phenyl or -CH₂-phenyl;

15 each HetC is independently a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein the heteroaromatic ring is optionally substituted with 1 or 2 substituents each of which is independently a -C₁₋₃ alkyl;

20 HetD is a 5- or 6-membered saturated heterocyclic ring containing a total of from 1 to 3 heteroatoms independently selected from 1 to 3 N atoms, zero or 1 O atom, and zero or 1 S atom, wherein any ring S atom is optionally oxidized to SO or SO₂, and wherein the heterocyclic ring is attached to the rest of the compound via a N atom in the ring, and wherein the heterocyclic ring is optionally substituted with -C₁₋₃ alkyl;

25 HetF is a 5- or 6-membered saturated heterocyclic ring containing 1 or 2 N atoms, zero or 1 O atom, and zero or 1 S atom, wherein any ring S atom is optionally oxidized to SO or SO₂, and wherein the heterocyclic ring is attached to the rest of the compound via a N atom in the ring, and wherein the heterocyclic ring is optionally substituted with 1 or 2 substituents each of which is independently a -C₁₋₄ alkyl;

30 each R^a is independently H or C₁₋₃ alkyl; and

each R^b is independently H or C₁₋₃ alkyl.

11. A compound according to claim 10, or a pharmaceutically acceptable salt thereof, wherein R¹ is:

- 5 (1) H,
- (2) CH₃,
- (3) bromo,
- (4) CH(CH₃)-N(R^a)R^b,
- (5) CH(CH₃)-N(R^a)-C(=O)-R^b,
- 10 (6) CH(CH₃)-N(R^a)-SO₂R^b,
- (7) CH(CH₃)-N(R^a)-C₁₋₃ alkylene-O-C₁₋₃ alkyl,
- (8) CH(CH₃)-N(R^a)-C(=O)-C(=O)-N(R^a)R^b,
- (9) CH(CH₃)-OH,
- (10) CH(CH₃)-HetD,
- 15 (11) CH(CH₃)-N(R^a)-CH₂-HetA,
- (12) HetA, or
- (13) C(=O)CH₃; and

R³ is:

- 20 (1) H,
- (2) CH₃,
- (3) C(=O)-CH₃,
- (4) CO₂H, or
- (5) C(=O)N(CH₃)₂;

25

R⁵ is:

- (1) H,
- (2) CH₃,
- (3) CH₂CO₂H,
- 30 (4) CH₂CO₂CH₃,
- (5) CH₂CO₂CH₂CH₃,
- (6) (CH₂)₁₋₂N(H)CH₃,
- (7) (CH₂)₁₋₂N(CH₃)₂,
- (8) CH₂C(=O)N(H)CH₃,
- 35 (9) CH₂C(=O)N(CH₃)₂, or

(10) $(CH_2)_1$ -2-HetF;

with the proviso that at least one of R^3 and R^5 is H;

5 T is 4-fluorophenyl, 4-fluoro-3-methylphenyl, or 3-chloro-4-fluorophenyl;

HetA is pyrrolyl, imidazolyl, pyridinyl, pyrimidinyl, or pyrazinyl;

HetD is $\text{---N}(\text{Cyclopentyl})$, $\text{---N}(\text{Cyclohexyl})\text{O}$, or $\text{---N}(\text{Cyclohexyl})$;

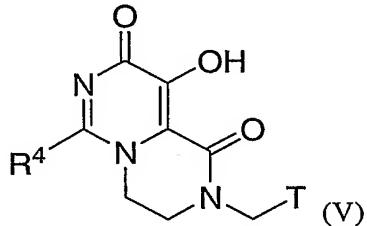
10

HetF is $\text{---N}(\text{Cyclopentyl})$, $\text{---N}(\text{Cyclohexyl})\text{O}$, or $\text{---N}(\text{Cyclohexyl})$;

R^a is H or CH_3 ; and

15 R^b is CH_3 or $CH(CH_3)_2$.

12. A compound according to claim 1, or a pharmaceutically acceptable salt thereof, wherein the compound is a compound of Formula V:



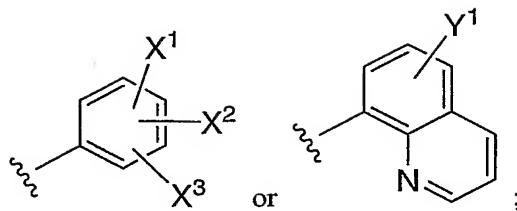
20 wherein:

R^4 is:

- (1) H,
- (2) C1-3 alkyl,
- 25 (3) $CH_2\text{-}N(R^a)R^b$,
- (4) $CH(CH_3)\text{-}N(R^a)R^b$,
- (5) $CH_2\text{-}N(R^a)\text{-}C(=O)\text{-}R^b$,
- (6) $CH(CH_3)\text{-}N(R^a)\text{-}C(=O)\text{-}R^b$,
- (7) $CH_2\text{-}HetD$, or

(8) $\text{CH}(\text{CH}_3)\text{-HetD};$

T is



5 wherein X^1 , X^2 and X^3 are each independently selected from the group consisting of -H, halo, -C₁₋₄ alkyl, -O-C₁₋₄ alkyl, -C₁₋₄ fluoroalkyl, -SO₂-C₁₋₄ alkyl, -C(=O)-NH(-C₁₋₄ alkyl), -C(=O)-N(-C₁₋₄ alkyl)₂, and HetC;

10 Y^1 is -H, halo, -C₁₋₄ alkyl, or -C₁₋₄ fluoroalkyl;

each HetC is independently a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein the heteroaromatic ring is optionally substituted with 1 or 2 substituents each of which is independently a -C₁₋₃ alkyl;

15 HetD is a 5- or 6-membered saturated heterocyclic ring containing a total of from 1 to 3 heteroatoms independently selected from 1 to 3 N atoms, zero or 1 O atom, and zero or 1 S atom, wherein any ring S atom is optionally oxidized to SO or SO₂, and wherein the heterocyclic ring is attached to the rest of the compound via a N atom in the ring, and wherein the heterocyclic ring is optionally substituted with -C₁₋₃ alkyl;

20 R^a is H or C₁₋₃ alkyl; and

R^b is H or C₁₋₃ alkyl.

25 13. A compound according to claim 12, or a pharmaceutically acceptable salt thereof, wherein R^4 is:

- (1) H,
- (2) C₁₋₃ alkyl,
- (3) $\text{CH}_2\text{-N}(\text{R}^a)\text{R}^b$,
- 30 (4) $\text{CH}(\text{CH}_3)\text{-N}(\text{R}^a)\text{R}^b$,
- (5) $\text{CH}_2\text{-N}(\text{R}^a)\text{-C(=O)}\text{-R}^b$,
- (6) $\text{CH}(\text{CH}_3)\text{-N}(\text{R}^a)\text{-C(=O)}\text{-R}^b$,

(7) $\text{CH}_2\text{-HetD}$, or
 (8) $\text{CH}(\text{CH}_3)\text{-HetD}$;

T is 4-fluorophenyl, 4-fluoro-3-methylphenyl, or 3-chloro-4-fluorophenyl;

5

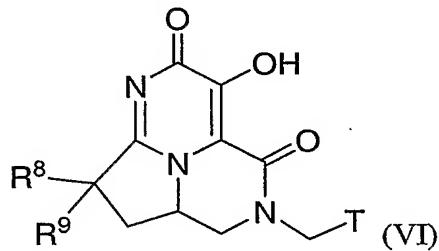
HetD is $\text{---N}(\text{---})\text{---C}_3\text{H}_5$, $\text{---N}(\text{---})\text{---C}_4\text{H}_8\text{O}$, or $\text{---N}(\text{---})\text{---C}_4\text{H}_9$;

R^a is H or CH_3 ; and

10 R^b is CH_3 .

14. A compound according to claim 1, or a pharmaceutically acceptable salt thereof, wherein the compound is a compound of Formula VI:

15



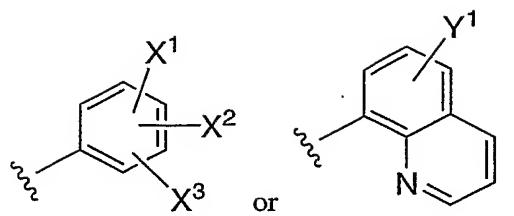
wherein

R^8 is:

(1) H,
 20 (2) C_{1-3} alkyl,
 (3) $\text{N}(\text{R}^a)\text{R}^b$,
 (4) $\text{N}(\text{R}^a)\text{-C}(=\text{O})\text{-O-C}_{1-4}$ alkyl,
 (5) $\text{N}(\text{R}^a)\text{-C}(=\text{O})\text{-C}(=\text{O})\text{-N}(\text{R}^a)\text{R}^b$,
 (6) HetF, or
 25 (7) $\text{N}(\text{R}^a)\text{-C}(=\text{O})\text{-C}(=\text{O})\text{-HetF}$;

R^9 is H or $\text{CH}_2\text{-T}$;

T is



wherein X¹, X² and X³ are each independently selected from the group consisting of -H, halo, -C₁₋₄ alkyl, -O-C₁₋₄ alkyl, -C₁₋₄ fluoroalkyl, -SO₂-C₁₋₄ alkyl, -C(=O)-NH(-C₁₋₄ alkyl), -C(=O)-N(-C₁₋₄ alkyl)₂, and HetC;

5

Y¹ is -H, halo, -C₁₋₄ alkyl, or -C₁₋₄ fluoroalkyl;

each HetC is independently a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein the heteroaromatic ring is optionally substituted with 10 1 or 2 substituents each of which is independently a -C₁₋₃ alkyl;

HetF is a 5- or 6-membered saturated heterocyclic ring containing 1 or 2 N atoms, zero or 1 O atom, and zero or 1 S atom, wherein any ring S atom is optionally oxidized to SO or SO₂, and wherein the heterocyclic ring is attached to the rest of the compound via a N atom in the ring, and wherein the 15 heterocyclic ring is optionally substituted with 1 or 2 substituents each of which is independently a -C₁₋₄ alkyl;

R^a is H or C₁₋₃ alkyl; and

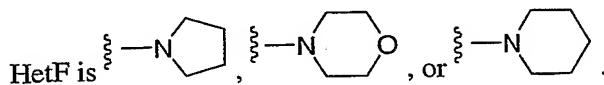
20 R^b is H or C₁₋₃ alkyl.

15. A compound according to claim 14, or a pharmaceutically acceptable salt thereof, wherein R⁸ is:

- (1) N(H)CH₃,
- 25 (2) N(CH₃)₂,
- (3) N(CH₃)-C(=O)-O-C₁₋₄ alkyl,
- (4) N(CH₃)-C(=O)-C(=O)-N(H)CH₃, or
- (5) N(CH₃)-C(=O)-C(=O)-N(CH₃)₂,
- (6) HetF, or
- 30 (7) N(CH₃)-C(=O)-C(=O)-HetF;

R⁹ is H or CH₂-T;

T is 4-fluorophenyl, 4-fluoro-3-methylphenyl, or 3-chloro-4-fluorophenyl; and



5

16. A pharmaceutical composition comprising an effective amount of a compound according to any one of claims 1 to 15, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.

10

17. A method of inhibiting HIV integrase in a subject in need thereof which comprises administering to the subject an effective amount of the compound according to any one of claims 1 to 15, or a pharmaceutically acceptable salt thereof.

15

18. A method for preventing or treating infection by HIV or for preventing, treating or delaying the onset of AIDS in a subject in need thereof which comprises administering to the subject an effective amount of the compound according to any one of claims 1 to 15, or a pharmaceutically acceptable salt thereof.

20

19. Use of a compound according to any one of claims 1 to 15, or a pharmaceutically acceptable salt thereof, for inhibiting HIV integrase in a subject in need thereof.

25

20. Use of a compound according to any one of claims 1 to 15, or a pharmaceutically acceptable salt thereof, for preventing or treating infection by HIV or for preventing, treating or delaying the onset of AIDS in a subject in need thereof.

30

21. A compound according to any one of claims 1 to 15, or a pharmaceutically acceptable salt thereof, for use in the preparation of a medicament for inhibiting HIV integrase in a subject in need thereof.

35

22. A compound according to any one of claims 1 to 15, or a pharmaceutically acceptable salt thereof, for use in the preparation of a medicament for preventing or treating infection by HIV or for preventing, treating or delaying the onset of AIDS in a subject in need thereof.

35

23. A pharmaceutical combination which is (i) a compound according to any one of claims 1 to 15, or a pharmaceutically acceptable salt thereof, and (ii) an HIV infection/AIDS antiviral agent selected from the group consisting of HIV protease inhibitors, non-nucleoside HIV reverse transcriptase inhibitors and nucleoside HIV reverse transcriptase inhibitors; wherein the compound of (i)

or its pharmaceutically acceptable salt and the HIV infection/AIDS antiviral agent of (ii) are each employed in an amount that renders the combination effective for inhibiting HIV integrase, for treating or preventing infection by HIV, or for preventing, treating or delaying the onset of AIDS.